Title (en)
DOUBLE-ACYLATED GLP-1 DERIVATIVES

Title (de)
ZWEIFACH ACYLIERTE GLP-1-DERIVATE

Title (fr)
DÉRIVÉS À DOUBLE ACYLATION DE GLP-1

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Abstract (en)
[origin: US2011166321A1] The invention relates to a derivative of a GLP-1 analogue, which analogue comprises a first K residue at a position corresponding to position 37 of GLP-1(7-37) (SEQ ID NO: 1), a second K residue at a position corresponding to position 26 of GLP-1(7-37), and a maximum of ten amino acid modifications as compared to GLP-1(7-37), wherein the first K residue is designated K37, and the second K residue is designated K26, which derivative comprises two albumin binding moieties attached to K26 and K37, respectively, wherein the albumin binding moiety comprises a protracting moiety selected from: HOOC—(CH2)x—CO—*   Chem. 1: HOOC—C6H4—O—(CH2)y—CO—*   Chem. 2: R1—C6H4—(CH2)z—CO—*   Chem. 3: HOOC—C4SH2—(CH2)w—CO—*   Chem. 4: in which x is an integer in the range of 6-18, y is an integer in the range of 3-17, z is an integer in the range of 1-5, R1 is a group having a molar mass not higher than 150 Da, and w is an integer in the range of 6-18; with the proviso that when the protracting moiety is Chem. 1, the albumin binding moiety further comprises a linker of formula Chem. 5: *—NH—(CH2)2—(O—(CH2)2)k—O—(CH2)n—CO—*, wherein k is an integer in the range of 1-5, and n is an integer in the range of 1-5; or a pharmaceutically acceptable salt, amide, or ester thereof. The invention also relates to the pharmaceutical use thereof, for example in the treatment and/or prevention of all forms of diabetes and related diseases, as well as to corresponding novel peptides and side chain intermediates. The derivatives are suitable for oral administration.

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